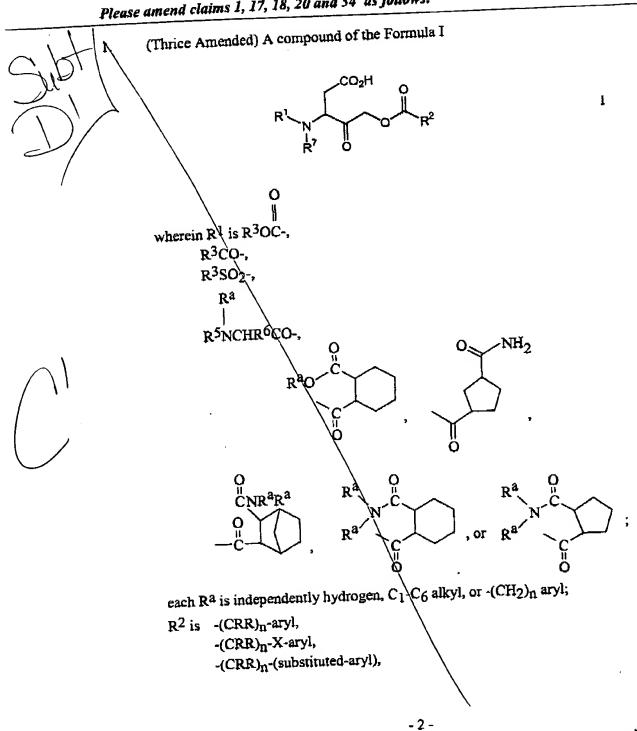
IN THE CLAIMS:

Please amend claims 1, 17, 18, 20 and 34 as follows:

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> -(CRR)\h-X-(substituted-aryl), $-(CRR)_n$ - $OH(aryl)_2$, -(CRR)n-cycloalkyl, -(CRR)n-X-cycloalkyl, $-(CRR)_n^-$ (CH₂)_n substituted aryl (CRR)_n NH aryl (CRR)_n -(CRR)_n-

Contd

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$$-(CRR)_n$$
, or R^4
 $(CHR)_n$ - or N
 $(CHR)_n$ -

each R is independently hydrogen, C1-C6 alkyl, halogen or hydroxy;

X is O or S;

 R^3 is C_1 - C_6 alkyl,

aryl,

-(CHR)n-aryl,

-(CHR)n-substituted aryl,

0

-(CRR)nCORa,

-(CRR)nO(CH2)n-aryl

cycloalkyl,

substituted cycloalkyl,

-(CRR)nCNRaRa,

Conta conta

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each R' is independently C1-C6 alkyl,

C1-C6 alkylaryl,

aryl, or hydrogen;

each I is independently

-NH-SO₂- $(C_1$ - C_6 -alkyl),

-CO₂Rb,

-CONRbRb

-SO2NRbRb, or

-SO₂R^b;

each Rb is independently hydrogen, C1-C6 alkyl, aryl, substituted aryl, arylalkyl, or substituted arylalkyl;

R4 is hydrogen,

C₁-C₆ alkyl,

0

CH3OC-,

-phenyl, or

C₁-C₆ alkyl C

R5 is C1-C6 alkyl-C0

-(CH₂)_n aryl,

C₁-C₆-alkylOC-,

C1-C6-alkyl-X-(CH2)nCO.

0

C₁-C₆-alkyl-X-(CH₂)_nOC-,



> -Č(CRR)_naryl, ÇNR^aR^a, $-SC_1-C_6$ alkyl, 000 -C(CH2)nCNRaRa, 0 -CO(CH₂)_n aryl, 0 $-\ddot{\mathbb{C}}O(CH_2)_n$ substituted aryl, 0 0 \parallel -C(CRR)_nNHCO(CH₂)_n-aryl, $-(CH_2)_nX(CH_2^1)_n$ -aryl, or - C_1 - C_6 alkyl X_1^1 C1- C_6 alkyl aryl; R^{5a} is $\|$ -COC₁-C₆ alkyl,

> (CH2)_n arylor substituted aryl, CO(CH2)n aryl, or

IELD. LLP

R6 is hydrogen,

 C_1 - C_6 alkyl, -(C_{H_2})_n aryl, -(C_{H_2})_n C_{O_2} R^a, or hydroxyl substituted C_1 - C_6 alkyl;

 R^7 is hydrogen, $-S-(C_1 \setminus C_6$ -alkyl), or $-SO_2-(C_1-C_6$ -alkyl);

each n is independently 0 to 3, and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof;

excluding the following compounds:

N-Benzyloxycarbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbony-L-aspartic acid 2,6-ditrifluoromethyl benzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic\acid 2,6-dichloro-3-(2-N-

morpholinylethoxy)benzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 2,6-dimethoxybenzoyloxy methyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 2,-dichloro-3-(benzyloxy)benzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 2-acetamido-6-chlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 2,6-difluorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 3-(N-butylsulfonamido)-2,6dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-sulfonamido benzoyloxymethyl

N-Benzyloxycarbonyl-L-aspartic acid 3-(N-benzylsulfonamido)-2,6dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 3-(N-(2\aminoacetamidoyl)-sulfonamido)-2,6dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-(Nmorpholinylsulfonamido)benzoyloxymethyl ketone;

N-Methoxycarbonyl-L-alanine-L-aspartic acid 2,6 dichlorobenzoyloxymethyl ketone;

N-(2-thienyl)carbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;



> N-Methoxycarbonyl glycine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone; N-Methoxycarbonyl-L-phenylalanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl

> N-Methoxycarbonyl-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Methoxycarbonyl-L-histidine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxycarbonyl-L-valine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-(2-Furonyl)carbonyl-1.-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-(2-Furonyl)carbonyl-L-aspartic acid 2,6-dichloro-3-(Nmorpholinylsulfonamido)benżoyloxymethyl ketone;

N-(3-Phenylpropionyl)-Laspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Methoxycarbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-(4-N,N-dimethylaminomethyl)benzoyl-L-aspartic acid 2,6-diclorobenzoloxymethyl ketone;

N-Benzyloxycarbonyl-D-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Methoxy-L-histidine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Methoxy-glycine -L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Methoxy-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Methoxy-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxy-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxy-D-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxy-L-alanine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxy-L-valine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzyloxy-D-alanine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-(N-phenylpropionyl-valinyl-alaninyl)-3-amino-4-oxo-5-(2,6-bistrifluoro methylbenzoyloxy) pentanoic acid;

N-(N-phenylpropionyl-valinyl-alaninyl)-3-amino-4-oxo-5-benzoyloxy pentanoic acid;

N-(N-Acetyl-tyrosinyl-valinyl-alaninyl)-3-amino-4-oxo-5-(pentafluorobenz oyloxy) pentanoic acid;

3-Phenylpropionyl-L-valine-L-alanine-aspartic acid 2-phenylethylcarbonyloxymethyl ketone:

Adamantane-1-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methylbutyrylamino)-propionylamino]-4-carboxy-2-oxo, butyl ester;

Acridine-9-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butyrylamino)propionylamino]-4-carboxy-2-oxo-butyl ester;

1H-Indole-3-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butyrylamino)propionylamino]-4-carboxy-2-oxo-butyl ester;

2-Methyl-imidazo[1,2-a]pyridine-3-carboxylic acid 3-[2-(2,benzyloxycarbonylamino-3methyl-butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;

2-Methoxy-3-methyl-quinoline-4-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3methyl-butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;

1,3-Dimethyl-1H-indole-2-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methylbutyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl estek;



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9H-Xanthene-9-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;

3-[2-(2-Benzyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-5-diphenylacetoxy-4-oxo-pentanoic acid;

2,6-Dichloro-benzoic acid 3-(5-benzyloxycarbonylamino-naphthalene-1-sulfonylamino)-4-carboxy-2-oxo-butyl ester;

2,6-Dichloro-benzoic acid 3-{[2-(1-benzyloxycarbonylamino-2-methyl-propyl)-thiazole-4-carbonyl]-amino}-4-carboxy-2-oxo-butyl ester;

2,6-Dichloro-benzoic acid 3-[2-(3-benzyloxycarbonylamino-phenyl)-propionylamino]-4-carboxy-2-oxo-butyl ester;

2,6-Dichloro-benzoic acid 3-[(5-benzyloxycarbonylamino-1H-indole-3-carbonyl)-amino]-4-carboxy-2-oxo-butyl esters

2,6-Dichloro-benzoic acid 3-[2-(6-benzyloxycarbonyloxy-naphthalen-2-yl)-propionylamino]-4-carboxy-2-oxo-butyl ester;

2,6-Dichloro-benzoic acid 3-(5-benzyloxycarbonylamino-naphthalene-1-sulfonylamino)-4-carboxy-2-oxo-butyl ester;

2,6-Dichloro-benzoic acid 3-[(5-benzyloxycarbonylamino-naphthalene-1-carbonyl)-amino]-4-carboxy-2-oxo-butyl ester;

2,6-Dichloro-benzoic acid 3-[(6-benzyloxycarbonylamino-5-oxo-octahydro-indolizine-3-carbonyl)-amino]-4-carboxy-2-oxo-butyl ester; and

2,6-Dichloro-benzoic acid 3-[(4-benzyloxycarbonylamino-cyclohexanecarbonyl)-amino]-4-carboxy-2-oxo-butyl ester.

- (Amended) A compound according to Claim 1 wherein each R^a is hydrogen; R¹ is benzyloxycarbonyl; R² is aryl-X(CRR)_n-, aryl-(CRR)_n-, or cycloalkyl-(CRR)_n-; n is 1, 2, or 3; X is O or S; and R is hydrogen, methyl, or benzyl.
 - (Amended) A compound according to Claim 1 wherein each R^a is hydrogen;

 R¹ is benzyloxycarbonyl; and

 R³ is -(CH₂)_n-naphthyl,

 -(CH₂)_n-phenyl,

 -(CH₂)_n-cycloalkyl,

- $(CH_2)_nO(CH_2)_n$ -naphthyl,

-(CH₂) $_n$ O(CH₂) $_n$ -phenyl, or

 $-(CH_2)_nS(CH_2)_n$ -phenyl.



18.

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(Twice Amended) A compound in accordance with Claim 1 wherein each Ra is 20.

hydrogen; and

R1 is benzyloxycarbonyl,

(Amended) The compounds: 34.

CH₃

(S)-5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-phenylacetylamino-pentanoic acid;

0

3-[(2-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4oxo-pentanoic acid;

3-[(3-Carbamoyl-bicyclo[2.2.1]heptane-2-carbonyl)-amino]-5-(naphthalen-1-yl-

acetoxy)-4-oxo-pentanoic acid; 3-(3-Methanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-

oxo-pentanoic acid; 3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-

oxo-pentanoic acid;

3-Butyrylamino-5-(naphthalen-2-yl-acetoxy)-4-oxo-pentanoic acid;

3-Acetylamino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(3-Methanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4oxo-pentanoic acid;

3-(3-Methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(3-Carbamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic

acid;

 $[S-(R^*,R^*)]-3-(3-Acetylsulfanyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-propionylamino)$

acetoxy)-4-oxo-pentanoic acid; and

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trans-3-[(3-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-ylacetoxy)-4-oxo-pentanoic acid.

Please add new claims 52 – 54 as follows:

(New) A compound of the Formula I

I

0

wherein R1 is R3OC-,

R3CO-,

 R^3SO_2 -,

 R^a

R5NCHR6CO-,

each Ra is independently hydrogen, C1-C6 alkyl, or -(CH2)n aryl;

R² is -(CRR)_n-aryl,

-(CRR)n-X-aryl,

-(CRR)n-(substituted-aryl), provided that the aryl group is not substituted with alkoxy, halogen, or trifluoromethyl,

-(CRR) $_{n}$ X-(substituted-aryl),

-(CRR)n-ryl-aryl,

-(CRR) $_n$ -alyl-(CH $_2$) $_n$ -aryl,

 $-(CRR)_n$ - $CM(aryl)_2$,

-(CRR)n-cycloalkyl,

 $-(CRR)_n-X-c\sqrt{cloalkyl}$,

substituted aryl

$$-(CRR)_{n}$$
 $-CH$ $(CH_{2})_{n}$ aryl,

NH aryl

$$-(CRR)_{\overline{n}}$$

$$-(CRR)_{n}$$

- 14 -

cycloalkyl,

substituted cycloalkyl,

-(CRR)nCNRaRa,

> J-CH₂CH $-(CRR)_nS(aH_2)_nCOR^a$ 0 $-(CRR)_nS(CH_2)_nCOR^a$, Ö $-(CRR)_{\Pi}S(CH_{\underline{\lambda}})_{\Pi}$ -aryl, $-(CRR)_n\ddot{S}(CH_2)_{14}^{1}$ -aryl, $-(CRR)_nS(CH_2)_nCO_2R^2$

Conta

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each R' is independently C1-C6 alkyl,

C₁-C₆ alkylaryl,

aryl, or

hydrogen;

each I is independently

-NH-SO₂-(C_1 - C_6 -alkyl),

 $-CO_2R^b$,

-CONRbRb,

-SO2NRbRb or

-SO₂R^b;

each Rb is independently hydrogen, C1-C6 alkyl, aryl, substituted aryl, arylalkyl, or substituted arylalkyl;

C₁-C₆ alkyl.

0

CH₃OC-,

-phenyl, or

C₁-C₆ alkyl C-;

R5 is C1-C6 alkyl-CO-,

 $-(CH_2)_n$ aryl,

 C_1 - C_6 -alkyl $O\tilde{C}$ -, C_1 - C_6 -alkyl-X- $(CH_2)_nCO$,

0

 C_1 - C_6 -alkyl-X-(CH_2)0C-,



Conta

I

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R6 is hydrogen,

 C_1 - C_6 alky $\frac{1}{2}$ - $(CH_2)_n$ aryl, - $(CH_2)_n$ CO₂R^a, or hydroxyl substituted C_1 - C_6 alkyl;

 R^7 is hydrogen, $-S^{-1}(C_1-C_6$ -alkyl), or $-SO_2-(C_1-C_6$ -alkyl);

each n is independenly 0 to 3,

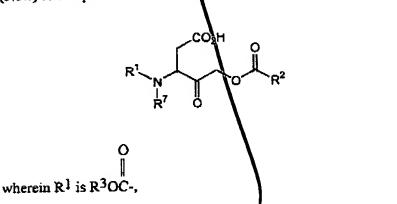
provided that when R^{5a} is

0 CO(CH2\n aryl, then n is 0, 2, or 3, and provided that when R5a is

 $C(CH_2)_n$ ary then n is 0, 1, or 3,

and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.

(New) A compound of the Formula I 53.



R³CO-,
R³SO₂-,
R³NOHR⁶CO-,
R²O C NH₂
R²O C R²O R

each Ra is independently hydrogen, C1-C6 alkyl, or -(CH2)n aryl;

R² is -(CRR)_n-aryl, -(CRR)_n-X-aryl,

-(CRR)n-X-(substituted-aryl),

-(CRR)n-aryl-aryl,

-(CRR)_n-aryl-(CH₂)_n-aryl,

-(CRR)_n-CH(aryl)₂,

-(CRR)_n-cycloalkyl,

-(CRR)n-X-cycloalkyl,

$$-(CRR)_n$$
— CH
 $(CH_2)_n$ — $aryl$
 $-(CRR)_n$ — CH
 $(CH_2)_n$ — $substituted aryl$
 $-(CRR)_n$ — CH
 $(CH_2)_n$ — $aryl$,



$$\mathbb{R}^4$$
 $\mathbb{C}^{\mathrm{CHR}}$
 \mathbb{R}^4
 $\mathbb{C}^{\mathrm{CHR}}$
 \mathbb{R}^4
 \mathbb{R}^4
 $\mathbb{C}^{\mathrm{CHR}}$
 \mathbb{R}^4
 $\mathbb{C}^{\mathrm{CHR}}$

each R is independently hydrogen, C1-C6 alkyl, halogen or hydroxy;

X is O or S; R³ is C₁-C₆ alkyl, aryl, -(CHR)--ary

-(CHR)_n-aryl,

-(CHR)_m-substituted aryl, O

-(CRR)_nCOR^a,

-(CRR)nO(CH2)n-aryl,

cycloalkyl,

substituted cycloalkyl

D (A

-(CRR)nCNRaRa,

-(CRR)_n-S-(CH₂)_n aryl,

000

- $(CRR)_n$ - SC_1 - C_6 alkyl,

∥ O Ra

J-CH₂CH-,

 $_{\parallel}^{\text{C}}$ -(CRR)_nS(CH₂)_nCOR^a,

Conte

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> -SO₂Rb; each R is independently hydrogen, C1-C6 alkyl, aryl, substituted aryl, arylalkyl, or substituted arylalkyl; R4 is hydrogen, CIC6 alkyl. CH3OC-, -phenyl, or C₁-C₆ alkyl C-; R5 is C1-C6 alkyl-CO-, -(CH2)n aryl. C₁-C₆-alkylOC-, C_1 - C_6 -alkylX- $(CH_2)_n$ CO, C_1 - C_6 -alkyl- X_1 (CH₂)_nOC-, -C(CRR)naryl, O -CNRaRa, Q -SC1-C6 alkyl, 0 0 0 -C(CH₂)_nCNR^aR^a, -CO(CH₂)n aryl, 0 -CO(CH2)n substituted aryl,

1

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> C(CH₂)_n aryl, then n is 0, 1, or 3,

and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.

54. (New) A compound of the Formula I

wherein R¹ is R³OC-,

R3CO-,

 R^3SO_{2} -,

R^a

R5NCHR6CO-,

0

$$\begin{array}{ccc}
O & R^{a} \\
C N R^{a} R^{a} & R^{a} \\
O & R^{a}
\end{array}$$

each Ra is independently hydrogen, C1-C6 alkyl, or -(CH2)n aryl;

 R^2 is $-(CRR)_n$ -aryl,

 $-(CRR)_{n}$ -X-aryl,

(CRR)_n-X-(substituted-aryl), $-(CRR)_{n}$ -aryl-aryl, -(CRR) $_n$ -aryl-(CH $_2$) $_n$ -aryl, -(CRR)n-CH(aryl)2, -(CRR) -cycloalkyl, -(CRR)_nX-cycloalkyl, $-(CRR)_{n}^{-1}$ -substituted aryl -(CRR)_n (CH₂)_n -(CRR)_n NH aryl (CRR)n (CRR)_n (CRR)_n

 $\frac{1}{2}$

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$$-(CRR)_n$$
 $-(CRR)_n$
, or
$$R^4$$
 $(CHR)_n$
or
$$(CHR)_n$$

each R is independently hydrogen, C1-C6 alkyl, halogen or hydroxy;

X is O or S;

R³ is C₁-C₆ alkyl,

aryl,

-(CHR)_n-aryl,

-(CHR)_n-substituted aryl

O

-(CRR)_nCOR^a,

-(CRR)_nO(CH₂)_n-aryl,

cycloalkyl,

substituted cycloalkyl,

O

|

-(CRR)_nCNR^aR^a,

> $-(c_{
> m RR})_{
> m n}$ - $\ddot{
> m S}$ - $({
> m CH}_2)_{
> m n}$ aryl, -(CRR $_{n}$ - $_{c}$ CCRR $_{n}$ J-CH2CH- $-(CRR)_{n}S(CH_{2})_{n}COR^{a}$ $-(CRR)_{n}S(CH_{2})_{n}COR^{a}$ $-(CRR)_nS(CH_2)_n$ -aryl, -(CRR)_nS(CH₂)_n-ary Ö -(CRR)nSCC1-C6 alkyl, -(CRR)_n \ddot{S} (CH₂)_n aryl, 0 -(CRR)_nS(CH₂)_nCO₂R^a, 0 -(CH₂)_nNHCC₁-C₆ alkyl, - 28 -

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each R' is independently C1-C6 alkyl,

C₁-C₆ alkylaryl,

aryl, or

hydrogen;

each I is independently
-NH-SO₂₁(C₁-C₆-alkyl),

-CO2Rb,

-CONRbRh

-SO2NRbRb or

-SO₂R^b;

each Rb is independently hydrogen, C1-C6 alkyl, aryl, substituted aryl, arylalkyl, or substituted ary alkyl;

R4 is hydrogen,

C₁-C₆ alkyl,

0 CH₃OC-,

-phenyl, or

C1-C6 alkyl C-;

R5 is C1-C6 alkyl-CO-,

-(CH₂)_n aryl,

C1-C6-alkylOC-,

C₁-C₆-alkyl-X-(CH₂)nCC

C₁-C₆-alkyl-X-(CH₂)_nOC



> -Č(CRR)_naryl, ÜNR^aR^a, $-SC_1-C_6$ alkyl, 0 O 0 -C(CH2)nCNRaRa, O -CO(CH₂)_n aryl, 0 -CO(CH₂), substituted aryl, -Č(CRR)_nNHÇO(CH₂)_n-aryl, $\frac{1}{R}6$ $-(CH_2)_nX(CH_2)_n$ -aryl, or -C₁-C₆ alkyl X-C₁C₆ alkyl aryl; R5a is \parallel -COC₁-C₆ alkyl, or

Conto

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 $(CH_2)_n$

aryl or substituted aryl;

 R^6 is hydrogen, C_1 - C_6 alkyl, - $(CH_2)_n$ aryl, - $(CH_2)_n$ CO₂R^a, or hydroxyl substituted C_1 -

C6 alkyl;

 R^7 is hydrogen, $-S-(C_1-C_6-alkyl)$, or $-SO_2-(C_1-C_6-alkyl)$;

each n is independently 0 to 3, and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.